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APPLICANT : JAPAN TOBACCO INC;

INVENTOR : MATSUSHITA HAJIME;

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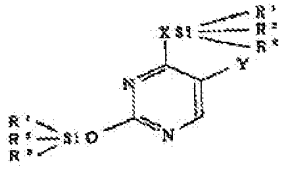
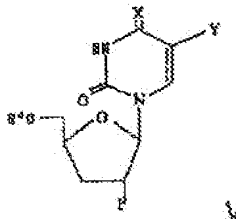
TITLE : PRODUCTION OF 2'-FLUORO-2',3'-DIDEOXYNUCLEOSIDE AND INTERMEDIATE THEREOF

I



IV

II



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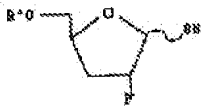

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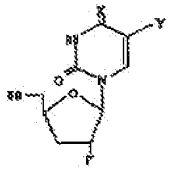

VI

ABSTRACT : PURPOSE: To easily, stably obtain in large quantities the subject compound having antiviral activities such as anti-AIDS viral activity by specific means using, as raw material, a readily available dihydroxy-hydroxymethyl-butanolide derivative.

CONSTITUTION: The 5-site hydroxyl group of (2S,4S)-2-hydroxy-4-hydroxymethyl-4-butanolide of formula I is first protected and a F atom is introduced into the 2-site of this compound to form a 2-fluoro-5- hydroxypentane-4-olide derivative of formula II (R^4 is protecting group for the hydroxyl group). Thence, this compound is reduced into 2,3-dideoxy-2- fluoropentofuranose of formula III, which is, in turn, condensed with a 5- substituted pyrimidine derivative of formula IV [R^1-R^2 are each alkyl or phenyl; X is a group having O, N and other atomic group(s); Y is H, halogen, etc.]. Finally, the resulting a 1-[2,3-dideoxy-2-fluoro-D-pentofuranosyl]pyrimidine derivative of formula V is deprotected, thus obtaining the objective compound of formula VI.